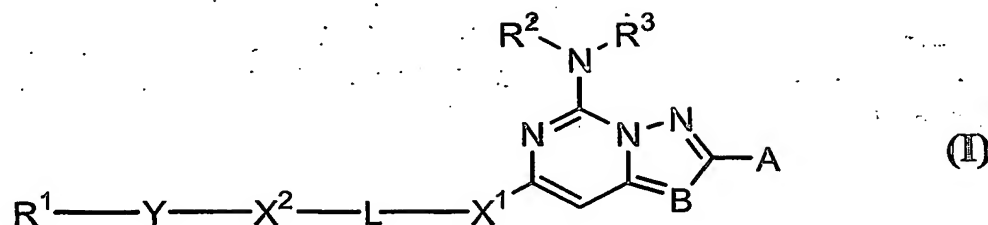


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What is claimed is:

1. A compound of the following formula:



or a pharmaceutically acceptable salt or N-oxide thereof;

5 wherein

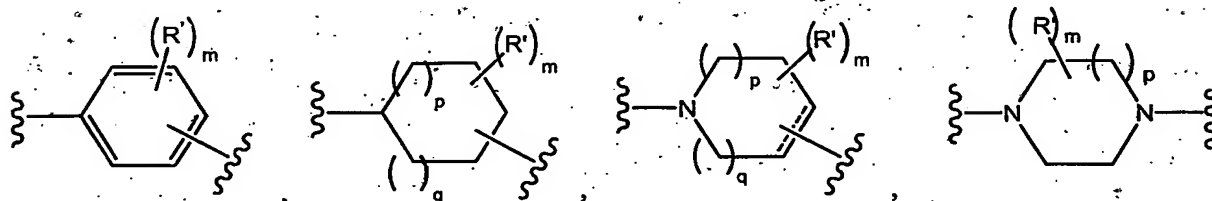
A is aryl or heteroaryl;

B is N or CR<sup>2</sup>;

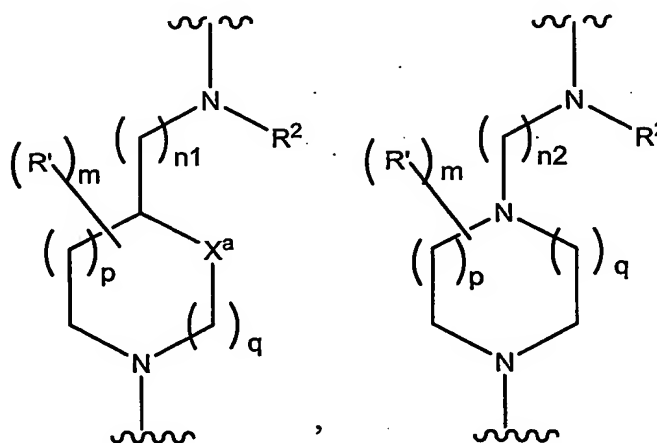
each of R<sup>2</sup> and R<sup>3</sup> is independently hydrogen, alkyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocycloalkyl, heterocycloalkenyl, heteroaryl, or heteroaralkyl;

10 each of X<sup>1</sup> and X<sup>2</sup> is independently C<sub>1-6</sub> alkylene, C<sub>2-6</sub> alkenylene, C<sub>2-6</sub> alkynylene, or a bond;

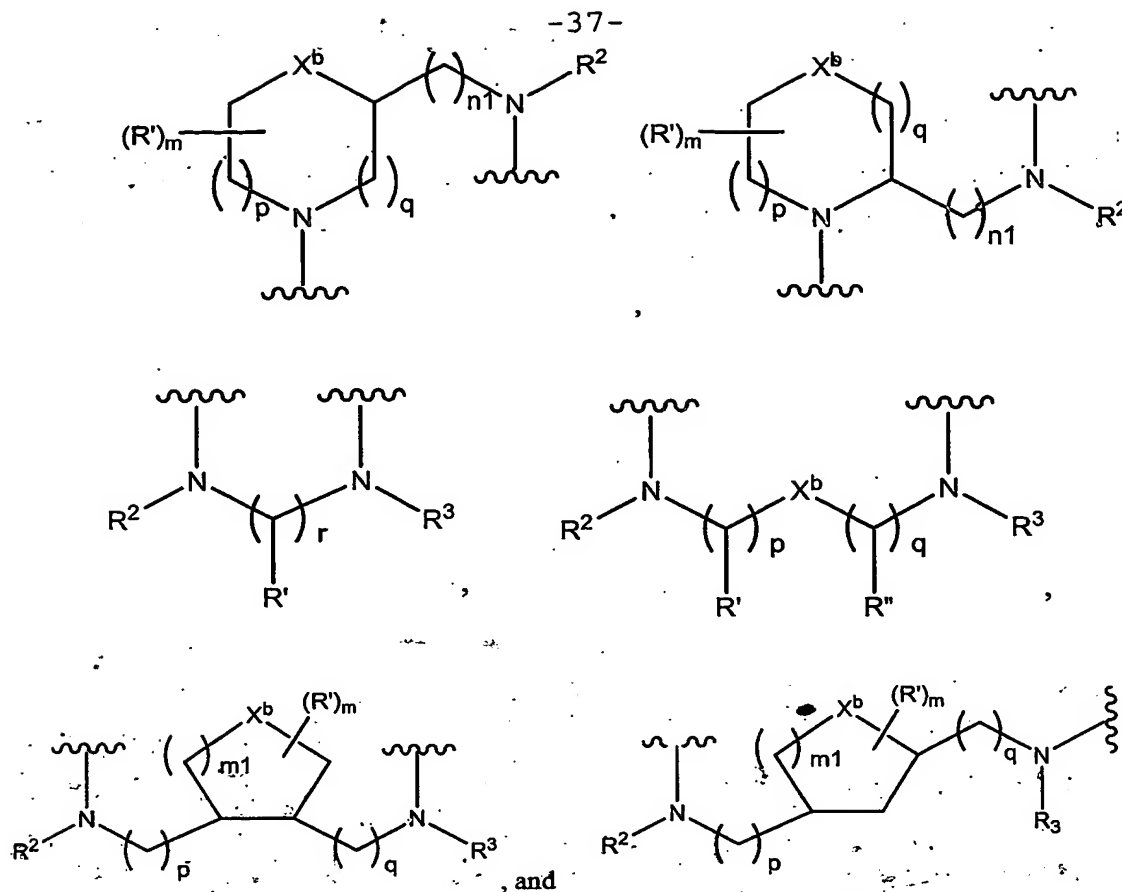
L is a bond or a linker selected from the group consisting of:



15



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wherein:

- each of R' and R'', independently, is hydrogen, alkyl, alkenyl, alkynyl, alkoxy, acyl, halo, hydroxy, amino, nitro, oxo, thioxo, cyano, guanadino, amidino, carboxy, sulfo, sulfoxy, mercapto, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, aminocarbonyl, alkylcarbonylamino, alkylsulfonylamino, alkoxy carbonyl, alkylcarbonyloxy, urea, thiourea, sulfamoyl, sulfamide, carbamoyl, cycloalkyl, cycloalkyloxy, cycloalkylsulfanyl, heterocycloalkyl, heterocycloalkyloxy, heterocycloalkylsulfanyl, aryl, aryloxy, arylsulfanyl, aroyl, heteroaryl, heteroaryloxy, heteroaryl sulfanyl, or heteroaroyl; provided that two adjacent R' groups can join together to form a 4- to 8-membered optionally substituted cyclic moiety;
- 10
- 15

X<sup>a</sup> is -C(R<sup>2</sup>)(R<sup>3</sup>)-, -S-, -SO-, or -SO<sub>2</sub>-;

X<sup>b</sup> is -C(R<sup>2</sup>)(R<sup>3</sup>)-, -NR<sup>2</sup>-, -O-, -S-, -SO-, or -SO<sub>2</sub>-;

each of p, q, m, and m1, is independently 0-3;

r is 1 or 2;

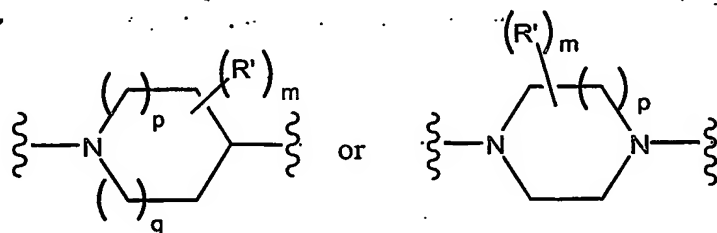
n1 is 0-6; and

n2 is 2-6;

Y is -C(R<sup>2</sup>)(R<sup>3</sup>)-, -O-, -S-, -SO-, -SO<sub>2</sub>-, -CO-, -CO<sub>2</sub>-, or a bond; and

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$R^1$  is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aralkyl, heterocyclyl, or heterocyclylalkyl; provided that

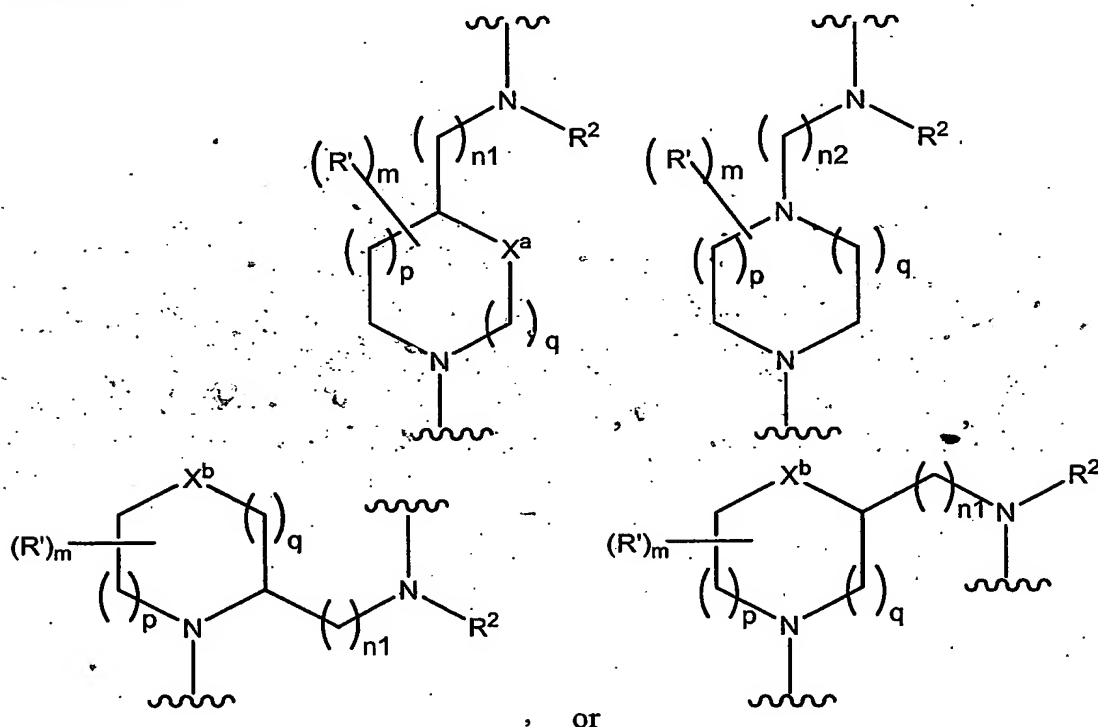


(1) when L is

, then  $X^1$  is  $C_{1-6}$

5 alkylene,  $C_{2-6}$  alkenylene, or  $C_{2-6}$  alkynylene;

(2) when L is



or

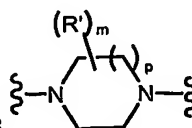
then  $R^1$  is aryl or heteroaryl; and

10

(3) when L is a bond,  $X^1$  is an alkynylene.

2. The compound of claim 1, wherein  $X^1$  is  $C_{2-6}$  alkynylene.

3. The compound of claim 2, wherein L is



or a bond.

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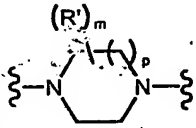
4. The compound of claim 2, wherein  $X^2$  is  $C_{1-4}$  alkylene or a bond.

5. The compound of claim 2, wherein Y is a bond.

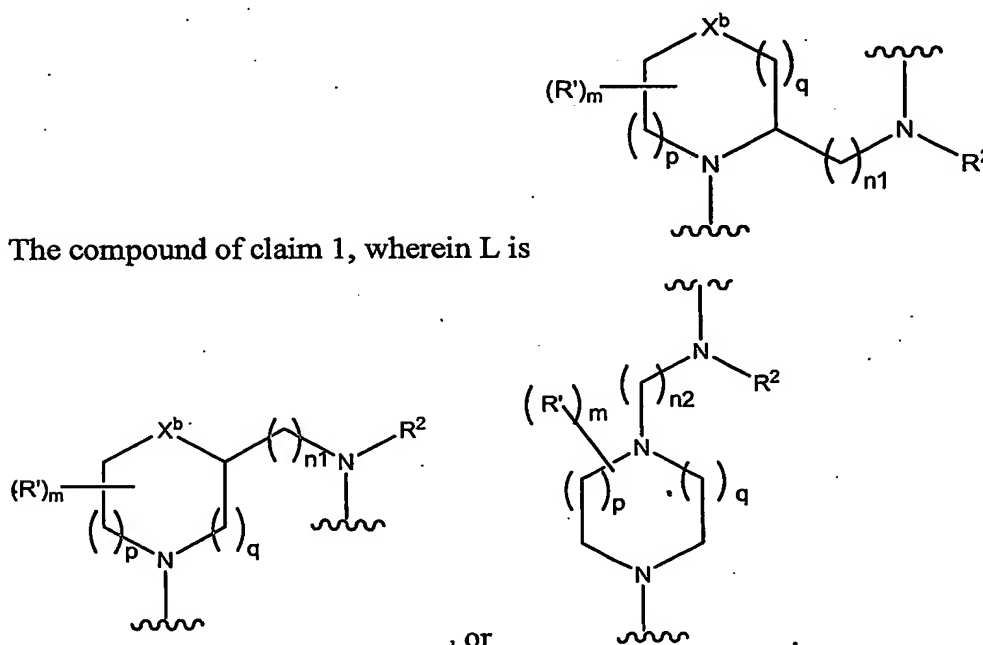
6. The compound of claim 2, wherein each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl.

7. The compound of claim 2, wherein  $R^1$  is alkyl, cycloalkyl, aryl, heterocycloalkyl, or heteroaryl.

8. The compound of claim 7, wherein  $R^1$  is optionally substituted with alkyl, halo, hydroxy, or phenyl.

9. The compound of claim 2, wherein L is  or a bond;  $X^2$  is  $C_{1-4}$  alkylene or a bond; Y is a bond; each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl;  $R^1$  is alkyl, cycloalkyl, aryl, heterocycloalkyl, or heteroaryl, each of which being optionally substituted with alkyl, halo, hydroxy, or phenyl; A is heteroaryl; and B is N.

10. The compound of claim 1, wherein L is



11. The compound of claim 10, wherein  $X^b$  is  $-C(R^2)(R^3)-$  or  $-NR^2-$ .

12. The compound of claim 11, wherein  $X^b$  is  $-C(R^2)(R^3)-$ .

13. The compound of claim 12, wherein p is 0-1 and q is 1.

14. The compound of claim 13, wherein n1 is 1-4 and n2 is 2-4.

15. The compound of claim 14, wherein  $X^1$  is  $C_{1-6}$  alkylene or a bond.

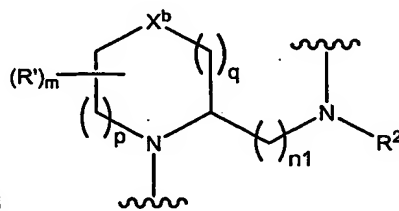
16. The compound of claim 14, wherein  $X^2$  is  $C_{1-6}$  alkylene or a bond.

17. The compound of claim 14, wherein Y is  $-SO_2-$ ,  $-CO-$ ,  $-CO_2-$ , or a bond.

18. The compound of claim 14, wherein each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl.

19. The compound of claim 14, wherein  $R^1$  is aryl or heteroaryl, each of which being optionally substituted with alkyl, halo, hydroxy, or phenyl.

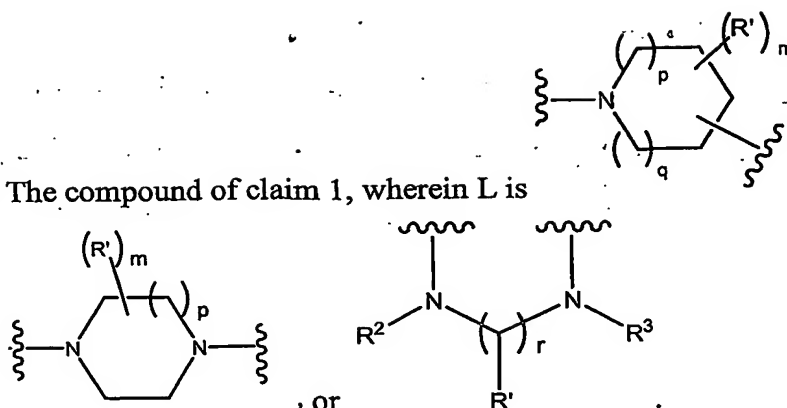
20. The compound of claim 14, wherein each of  $X^1$  and  $X^2$  is independently  $C_{1-6}$  alkylene or a bond; Y is  $-SO_2-$ ,  $-CO-$ ,  $-CO_2-$ , or a bond; each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl; and  $R^1$  is aryl or heteroaryl, each of which being optionally substituted with alkyl, halo, hydroxy, or phenyl.



21. The compound of claim 14, wherein L is  $(R')_m$ ;  $X^1$  is a bond;  $X^2$  is  $C_{1-4}$  alkylene; Y is a bond; each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl;  $R^1$  is aryl or heteroaryl, each of which being optionally substituted with alkyl, halo, hydroxy, or phenyl; A is heteroaryl; and B is N.

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22. The compound of claim 1, wherein L is



5 23. The compound of claim 22, wherein  $X^1$  is  $C_{1-6}$  alkylene,  $C_{2-6}$  alkynylene, or a bond.

24. The compound of claim 22, wherein  $X^2$  is  $C_{1-6}$  alkylene or a bond.

10 25. The compound of claim 22, wherein Y is  $-SO_2-$ ,  $-CO-$ ,  $-CO_2-$ , or a bond.

26. The compound of claim 22, wherein each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl.

15 27. The compound of claim 22, wherein  $R^1$  is alkyl, cycloalkyl, aryl, heterocycloalkyl, or heteroaryl.

28. The compound of claim 27, wherein  $R^1$  is optionally substituted with alkyl, halo, hydroxy, or phenyl.

20

29. The compound of claim 22, wherein  $X^1$  is  $C_{1-6}$  alkylene,  $C_{2-6}$  alkynylene, or a bond;  $X^2$  is  $C_{1-6}$  alkylene or a bond; Y is  $-SO_2-$ ,  $-CO-$ ,  $-CO_2-$ , or a bond; each of  $R^2$  and  $R^3$  is independently hydrogen or alkyl;  $R^1$  is alkyl, cycloalkyl, aryl, heterocycloalkyl, or heteroaryl, each of which being optionally substituted with

25

29. The compound of claim 1, said compound being

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2-furan-2-yl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-ylmethyl]-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-  
ylmethyl]-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

5 N<sup>7</sup>-[1-(2-chloro-6-fluoro-benzyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

2-furan-2-yl-N<sup>7</sup>-(1-pyridin-4-ylmethyl-pyrrolidin-2-ylmethyl)-[1,2,4]triazolo[1,5-  
c]pyrimidine-5,7-diamine;

10 N<sup>7</sup>-[1-(2,6-dichloro-pyridin-4-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(2-chloro-pyridin-4-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(2,3-difluoro-benzyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-[1,2,4]triazolo[1,5-  
c]pyrimidine-5,7-diamine;

15 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(2,3,6-trifluoro-benzyl)-piperidin-2-ylmethyl]-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(2,4-difluoro-benzyl)-piperidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

20 N<sup>7</sup>-[1-(2,6-difluoro-benzyl)-piperidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(2-fluoro-benzyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(5-chloro-furan-2-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

25 N<sup>7</sup>-(1-benzofuran-2-ylmethyl-pyrrolidin-2-ylmethyl)-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(5-chloro-1-methyl-3-trifluoromethyl-1H-pyrazol-4-ylmethyl)-pyrrolidin-2-  
ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

30 N<sup>7</sup>-[1-(2,3-difluoro-benzyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-(1-pyridin-2-ylmethyl-pyrrolidin-2-ylmethyl)-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

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- 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-(1-pyridin-3-ylmethyl-pyrrolidin-2-ylmethyl)-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-(1-pyridin-4-ylmethyl-pyrrolidin-2-ylmethyl)-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 5 N<sup>7</sup>-[1-(6-chloro-pyridin-3-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-  
methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(2,3,5,6-tetrafluoro-benzyl)-pyrrolidin-2-ylmethyl]-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 1-(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-7-ylethynyl)-  
10 cyclopentanol;
- 1-(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-7-ylethynyl)-cyclohexanol;
- 4-(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-7-yl)-2-phenyl-but-3-yn-2-  
ol;
- 7-(3-cyclohexyl-prop-1-ynyl)-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-5-  
15 ylamine;
- 7-{3-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-prop-1-ynyl}-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;
- 7-{3-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-propyl}-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;
- 20 N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 2-furan-2-yl-N<sup>7</sup>-{2-[4-(2,4,6-trifluoro-phenyl)-piperazin-1-yl]-ethyl}-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- N<sup>7</sup>-{2-[4-(2-fluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-[1,2,4]triazolo[1,5-  
25 c]pyrimidine-5,7-diamine;
- N<sup>7</sup>-{2-[4-(2,5-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
- 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[2-(4-phenyl-piperazin-1-yl)-ethyl]-[1,2,4]triazolo[1,5-  
c]pyrimidine-5,7-diamine;
- 30 N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-N<sup>7</sup>-methyl-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine; and
- 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-{2-[4-(2,4,6-trifluoro-phenyl)-piperazin-1-yl]-ethyl}-  
[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine.



30. The compound of claim 1, said compound being
- 2-furan-2-yl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-ylmethyl]-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-ylmethyl]-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-[1-(2-chloro-6-fluoro-benzyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-[1-(2,6-dichloro-pyridin-4-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-[1-(5-chloro-furan-2-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-(1-benzofuran-2-ylmethyl-pyrrolidin-2-ylmethyl)-2-furan-2-yl-N<sup>7</sup>-methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-[1-(6-chloro-pyridin-3-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(2,3,5,6-tetrafluoro-benzyl)-pyrrolidin-2-ylmethyl]-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - 1-(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-7-ylethynyl)-cyclopentanol;
  - 1-(5-amino-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-7-ylethynyl)-cyclohexanol;
  - 7-(3-cyclohexyl-prop-1-ynyl)-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;
  - 7-{3-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-prop-1-ynyl}-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;
  - N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - 2-furan-2-yl-N<sup>7</sup>-{2-[4-(2,4,6-trifluoro-phenyl)-piperazin-1-yl]-ethyl}-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;
  - N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-N<sup>7</sup>-methyl-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine; and
  - 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-{2-[4-(2,4,6-trifluoro-phenyl)-piperazin-1-yl]-ethyl}-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine.

31. The compound of claim 1, said compound being

2-furan-2-yl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-ylmethyl]-

[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

5 2-furan-2-yl-N<sup>7</sup>-methyl-N<sup>7</sup>-[1-(5-methyl-isoxazol-3-ylmethyl)-pyrrolidin-2-

ylmethyl]-[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(2-chloro-pyridin-4-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-

[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-[1-(5-chloro-furan-2-ylmethyl)-pyrrolidin-2-ylmethyl]-2-furan-2-yl-N<sup>7</sup>-methyl-

10 [1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

N<sup>7</sup>-(1-benzofuran-2-ylmethyl-pyrrolidin-2-ylmethyl)-2-furan-2-yl-N<sup>7</sup>-methyl-

[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine;

7-(3-cyclohexyl-prop-1-ynyl)-2-furan-2-yl-[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;

15 7-{3-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-prop-1-ynyl}-2-furan-2-yl-

[1,2,4]triazolo[1,5-c]pyrimidin-5-ylamine;

N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-

[1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine; and

N<sup>7</sup>-{2-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-ethyl}-2-furan-2-yl-N<sup>7</sup>-methyl-

20 [1,2,4]triazolo[1,5-c]pyrimidine-5,7-diamine.

32. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

25 33. A pharmaceutical composition comprising a compound of claim 29 and a pharmaceutically acceptable carrier.

34. A method of modulating the A<sub>2a</sub> adenosine receptor signaling pathways in a subject, the method comprising administering to said subject with an effective amount of a compound of claim 1.

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35. A method of modulating the A<sub>2a</sub> adenosine receptor signaling pathways in a subject, the method comprising administering to said subject with an effective amount of a compound of claim 29.
- 5 36. A method of inhibiting the A<sub>2a</sub> adenosine receptor in a cell, the method comprising the step of contacting said cell with an effective amount of a compound of claim 1.
- 10 37. A method of inhibiting the A<sub>2a</sub> adenosine receptor in a cell, the method comprising the step of contacting said cell with an effective amount of a compound of claim 29.
- 15 38. A method of treating or preventing a disorder or disease in a subject wherein the cause or syndrome of the disorder or disease is associated with an activation of the A<sub>2a</sub> adenosine receptor, the method comprising the step of administering to said subject an effective amount of a compound of claim 1.
- 20 39. A method of treating or preventing a disorder or disease in a subject wherein the cause or syndrome of the disorder or disease is associated with an activation of the A<sub>2a</sub> adenosine receptor, the method comprising the step of administering to said subject an effective amount of a compound of claim 29.
- 25 40. The method of claim 38 or 39, wherein the disorder or disease is selected from the group consisting of Parkinson's disease, progressive supranuclear palsy, multiple system atrophy, Alzheimer's disease, depression, AIDS encephalopathy, multiple sclerosis, amyotrophic lateral sclerosis, migraine, attention deficit disorder, narcolepsy, sleep apnea that results in excessive daytime sleepiness, Huntington's disease, cerebral ischemia, brain trauma, hepatic fibrosis, cirrhosis, and fatty liver.
- 30 41. The method of claim 40, wherein the disorder or disease is Parkinson's disease.
42. The method of claim 40, wherein the disorder or disease is depression.

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43. The method of claim 40, wherein the disorder or disease is migraine.

44. The method of claim 40, wherein the disorder or disease is hepatic fibrosis.

45. The method of claim 40, wherein the disorder or disease is Huntington's disease.

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